



TABLE 1

Compounds Prepared By The Method of Example 1

R₁'-X	R₂	R₃
4-methoxybenzylamino	3-cyanopropyl	C1
4-methoxybenzylamino	3-chloropropyl	C1
4-methoxybenzylamino	benzyl	C1
4-methoxybenzylamino	Methyl 4-carboxybenzyl	C1
4-methoxybenzylamino	N-phthaloyl ethyl	C1
4-methoxybenzylamino	isopropyl	ethanolamino
4-methoxybenzylamino	isopropyl	Diethanolamino
4-methoxybenzylamino	3-methylbutyl	C1
4-methoxybenzylamino	2-methylpropyl	C1
4-methoxybenzylamino	cyclopentyl	C1
4-methoxybenzylamino	3-nitrobenzyl	C1
4-methoxybenzylamino	4-nitrobenzyl	C1
4-methoxybenzylamino	ethyl	C1
4-methoxybenzylamino	propyl	C1
4-methoxybenzylamino	3-methylbenzyl	C1
4-methoxybenzylamino	4-methylbenzyl	C1
Heptylamino	H	C1
N-benzyl-N-hydroxylamino	H	C1
Propylamino	H	C1
Noradamantylamino	H	C1
Cyclobutylamino	H	C1
3-methoxypropylamino	H	C1
2-methoxyethylamino	H	C1
Cyclopentylamino	H	C1
1-hydroxy-2-methyl-2-propylamino	H	C1
(N-1-benzylpiperidiny)-4-amino	H	C1
Heptylamino	Me	C1
N-benzyl-N-hydroxylamino	Me	C1

R_1 -X	R_2	R_3
Propylamino	Me	Cl
Noradamantylamino	Me	Cl
Cyclobutylamino	Me	Cl
3-methoxypropylamino	Me	Cl
2-methoxyethylamino	Me	Cl
Cyclopentylamino	Me	Cl
1-hydroxy-2-methyl-2-propylamino	Me	Cl
(N-1-benzylpiperidinyl)-4-amino	Me	Cl
(2,4-dimethoxyphenyl)methylamino	Me	Cl
(2-methoxyphenyl)methylamino	H	Cl
(2-pyridinyl)methylamino	H	Cl
(3,4-dimethoxyphenyl)ethylamino	H	Cl
(3-pyridinyl)methylamino	H	Cl
(4-pyridinyl)methylamino	H	Cl
6-hydroxy-1-hexylamino	H	Cl
Phenethylamino	H	Cl
(2-benzothiazolyl)amino	H	Cl
(2,4-dimethoxyphenyl)methylamino	H	Cl
2-methoxybenzylamino	Me	Cl
(2-pyridinyl)methylamino	Me	Cl
3,4-dimethoxyphenethylamino	Me	Cl
4-methoxybenzylamino	Me	Cl
(3-pyridinyl)methylamino	isopropyl	2-aminoethylamino
(4-pyridinyl)methylamino	H	Cl
1-hydroxy-6-hexylamino	H	Cl
Phenethylamino	H	Cl
2-aminobenzothiazole	H	Cl
4-methoxybenzylamino	H	Cl
3-phenyl-1-propylamino	isopropyl	3-hydroxypyrrolidino
(2-indanyl)amino	H	Cl

R₁'-X	R₂	R₃
4-methoxyphenethylamino	H	C1
4-nitrobenzylamino	H	C1
2,6-difluorobenzylamino	H	C1
3-phenyl-1-propylamine	H	C1
2-aminoindane	Me	C1
4-methoxyphenethylamino	Me	C1
4-nitrobenzylamino	Me	C1
2,6-difluorobenzylamino	Me	C1
Cyclopropylmethylamino	Me	C1
Piperonylamino	H	C1
(4-aminosulfonylphenyl) methylamino	H	C1
(Cyclohexanol)-1-methylamino	H	C1
(2-benzimidazolyl)methylamino	H	C1
Cyclohexanmethanamino	H	C1
4-methoxybenzylamino	H	C1
4-methoxybenzylamino	isopropyl	(2-hydroxy-1- hydroxymethyl)ethylamino
Cyclopropylmethylamino	isopropyl	3-amino-2- hydroxypropylamino
piperonylamino	Me	C1
(4-aminosulfonyl- phenyl)methylamino	Me	C1
(Cyclohexanol)-1-methylamino	Me	C1
(2-benzimidazolyl)methylamino	Me	C1
Cyclohexylmethylamino	Me	C1
(3-pyridinyl)methylamino	Me	C1
(4-pyridinyl)methylamino	2-methylpropyl	C1
6-hydroxyhexylamino	cyclopentyl	C1
phenethylamino	propyl	C1
(2-benzothiazolyl)amino	ethyl	C1
3-phenyl-1-propylamino	isopropyl	C1
2-aminoindane	2-methylpropyl	C1
4-methoxyphenethylamino	cyclopentyl	C1

R₁'-X	R₂	R₃
4-nitrobenzylamino	propyl	C1
2,6-difluorobenzylamino	ethyl	C1
4-methoxybenzylamino	isopropyl	C1
3-phenyl-1-propylamino	isopropyl	4-hydroxypiperidino
(2-indanyl)amino	H	C1
2-(4-methoxyphenyl)ethylamino	H	C1
4-nitrobenzylamino	H	C1
2,6-difluorobenzylamino	H	C1
4-methoxybenzylamino	H	C1
4-methoxybenzylamino	isopropyl	N-(2-cyanoethyl)-N-benzylamino
3-phenyl-1-propylamino	isopropyl	1-(R,S)-hydroxymethyl-3-methylbutylamino
(2-indanyl)amino	isopropyl	C1
2-(4-Methoxyphenyl)ethylamino	isopropyl	C1
4-nitrobenzylamino	isopropyl	C1
2,6-difluorobenzylamino	isopropyl	C1
4-methoxybenzylamino	isopropyl	C1
4-methoxybenzylamino	isopropyl	Piperidino
4-methoxybenzylamino	isopropyl	3-hydroxypiperidino
3-phenyl-1-propylamino	isopropyl	1-(S)-hydroxymethyl-2-(4'-imidazolyl) ethylamino
(2-indanyl)amino	isopropyl	Diethanolamino
4-methoxybenzylamino	isopropyl	Diethanolamino
4-methoxybenzylamino	isopropyl	2-(S)-hydroxymethylpyrrolidino
4-methoxybenzylamino	benzyl	Morpholino
4-methoxybenzylamino	isopropyl	Diethanolamino
4-methoxybenzylamino	3-methylbutyl	Diethanolamino
4-methoxybenzylamino	2-methylpropyl	Diethanolamino
4-methoxybenzylamino	cyclopentyl	Diethanolamino
4-methoxybenzylamino	3-nitrobenzyl	Diethanolamino
4-methoxybenzylamino	4-nitrobenzyl	Diethanolamino
4-methoxybenzylamino	ethyl	Diethanolamino

R ₁ '-X	R ₂	R ₃
4-methoxybenzylamino	propyl	Diethanolamino
4-methoxybenzylamino	3-methylbenzyl	Diethanolamino
heptylamino	4-methylbenzyl	Diethanolamino
N-benzyl-N-hydroxyamino	Me	Diethanolamino
propylamino	Me	Diethanolamino
noradamantylamino	Me	Diethanolamino
cyclobutylamino	Me	Diethanolamino
3-methoxypropylamino	Me	Diethanolamino
2-methoxyethylamino	Me	Diethanolamino
cyclopentylamino	Me	Diethanolamino
1-hydroxy-2-methyl-2-propylamino	Me	Diethanolamino
4-(1-benzylpiperidinyl)amino	Me	Diethanolamino
4-methoxybenzylamino	Me	Diethanolamino
4-methoxybenzylamino	isopropyl	3-hydroxypyrrolidino
2,4dimethoxybenzylamino	isopropyl	2-(3'-indolyl)ethylamino
2-methoxybenzylamino	Me	Diethanolamino
(2-pyridinyl)methylamino	Me	Diethanolamino
2-(3,4-dimethoxyphenyl)ethylamino	Me	Diethanolamino
(3-pyridinyl)methylamino	Me	Diethanolamino
(4-pyridinyl)methylamino	Me	Diethanolamino
6-hydroxy-1-hexylamino	Me	Diethanolamino
phenethylamino	Me	Diethanolamino
(2-benzothiazolyl)amino	Me	Diethanolamino
3-phenyl-1-propylamino	Me	Diethanolamino
(2-indanyl)amino	Me	Diethanolamino
4-methoxyphenethylamino	Me	Diethanolamino
4-nitrobenzylamino	Me	Diethanolamino
2,6-difluorobenzylamino	Me	Diethanolamino
Cyclopropylmethylamino	Me	Diethanolamino
Piperonylamino	Me	Diethanolamino
(4-aminosulfonylphenyl)-methylamino	Me	Diethanolamino

R ₁ '-X	R ₂	R ₃
(Cyclohexanol)-1-methylamino	Me	Diethanolamino
(2-benzimidazolyl)methylamino	Me	Diethanolamino
Cyclohexanmethanamino	Me	Diethanolamino
(3-pyridyl)methylamino	Me	Diethanolamino
(4-pyridyl)methylamino	2-methylpropyl	Diethanolamino
6-hydroxy-1-hexylamino	cyclopentyl	Diethanolamino
Phenethylamino	propyl	Diethanolamino
(2-benzothiazolyl)amino	ethyl	Diethanolamino
3-phenyl-1-propylamino	isopropyl	Diethanolamino
(2-indanyl)amino	2-methylpropyl	Diethanolamino
4-methoxyphenethylamino	cyclopentyl	Diethanolamino
4-nitrobenzylamino	propyl	Diethanolamino
2,6-difluorobenzylamino	ethyl	Diethanolamino
4-methoxybenzylamino	isopropyl	Diethanolamino
4-methoxybenzylamino	isopropyl	1-hydroxymethyl cyclopentylamino
4-methoxybenzylamino	isopropyl	2-(R,S)- hydroxymethylpiperidino
Cyclopropyl	isopropyl	2,3-dihydroxy-1- propylamino
Piperonylamino	isopropyl	C1
(4-aminosulfonylphenyl) methylamino	isopropyl	C1
Cyclohexanolmethylamino	isopropyl	C1
(2-benzimidazolyl)amino	isopropyl	C1
Cyclohexylmethylamino	isopropyl	C1
3-phenyl-1-propylamino	isopropyl	C1
Cyclopropylmethylamino	cyclopentyl	C1
piperonylamino	isopropyl	Diethanolamino
4-methoxybenzylamino	isopropyl	Diethanolamino
4-methoxybenzylamino	isopropyl	Disopropylamino
4-methoxybenzylamino	isopropyl	(Trans-2- hydroxycyclohexyl)amino
4-methoxybenzylamino	isopropyl	2(R)-(1-hydroxy-3- phenyl)propylamino

R ₁ '-X	R ₂	R ₃
4-methoxybenzylamino	isopropyl	5-(S)-(2,2-dimethyl-4(s)-phenyldioxalanyl)amino
4-methoxybenzylamino	isopropyl	3-(N-1-imidazolyl)propylamino
4-methoxybenzylamino	isopropyl	4-hydroxyl-4-phenylpiperidino
4-methoxybenzylamino	isopropyl	(2-benzylthio-1-hydroxymethyl)ethylamino
4-methoxybenzylamino	isopropyl	N-methyl-N-(2-hydroxy-2-(3,4-dihydroxyphenyl)ethyl)amino
4-methoxybenzylamino	isopropyl	Diallylamino
4-methoxybenzylamino	isopropyl	Piperazino
4-methoxybenzylamino	isopropyl	(+/-)N-methyl-N-(2-hydroxy-2-phenylethyl)amino
4-methoxybenzylamino	isopropyl	(S)-(+)-2-(anilinomethyl)pyrrolidino
4-methoxybenzylamino	isopropyl	(+/-)N-(2-propenyl)-N-2-(4-hydroxy-2-methylpentyl)amino
4-methoxybenzylamino	isopropyl	N-(2-hydroxyethyl)-N-(3-hydroxypropyl)amino
4-methoxybenzylamino	isopropyl	Di-N-1-(2-hydroxy-2-methylpentyl)amino
4-methoxybenzylamino	isopropyl	Di-N-2-(3-hydroxybutyl)amino
4-methoxybenzylamino	Me	ethanolamino
4-chlorobenzoyloxy	H	Cl
4-chlorobenzoyloxy	Me	Cl
4-chlorobenzylamino	Trifluoromethyl	Cl
4-methoxybenzylamino	Trifluoromethyl	Cl
4-methoxybenzylamino	benzyl	Cl
4-methoxybenzylamino	isopropyl	2-aminoethylamino
4-methoxybenzylamino	2-O-TBDMS-ethyl	diethanolamino
4-methoxybenzylamino	perfluoroisopropyl	Cl

R₁'-X	R₂	R₃
4-methoxybenzylamino	perfluoroisopropyl	diethanolamino
4-methoxybenzylamino	2-hydroxyethyl	diethanolamino
4-methoxybenzylamino	isopropyl	1,3-diamino-2-hydroxopropane
4-methoxybenzylamino	isopropyl	N-(4-hydroxypiperidino)
4-methoxybenzylamino	isopropyl	N-pyrrolidino
3-phenylpropylamino	H	Cl
2-aminoindanyl	H	Cl
2-(4-methoxyphenyl)ethylamino	H	Cl
4-nitrobenzylamino	H	Cl
2,6-difluorobenzylamino	H	Cl
4-methoxybenzylamino	isopropyl	N-(2-cyanopropyl)-N-(3-pyridylmethyl)-amino
4-methoxybenzylamino	isopropyl	2-(hydroxymethyl)-3-methylbutan-2-amino
3-phenylpropylamino	isopropyl	Cl
2-aminoindanyl	isopropyl	Cl
2-(4-methoxyphenyl)ethylamino	isopropyl	Cl
4-nitrobenzylamino	isopropyl	Cl
2,6-difluorobenzylamino	isopropyl	Cl
4-methoxybenzylamino	isopropyl	2-(5-imidazolemethyl)ethanolamino
3-phenylpropylamino	isopropyl	diethanolamino
4-methoxybenzylamino	isopropyl	N-(3-hydroxypyrrolidino)
4-methoxybenzylamino	isopropyl	2-(3-indole)ethylamino
4-methoxybenzylamino	isopropyl	2,3-dihydroxypropylamino
3-phenylpropylamino	cyclopentyl	Cl
4-methoxybenzylamino	isopropyl	N-benzyl-N-2-hydroxyethylamino
4-methoxybenzylamino	oleyl	Cl
4-methoxybenzylamino	2-naphthylmethyl	Cl
4-methoxybenzylamino	4-phenylbenzyl	Cl
4-methoxybenzylamino	1-naphthylmethyl	Cl
4-methoxybenzylamino	4-methylstilbene	Cl

R ₁ '-X	R ₂	R ₃
4-methoxybenzylamino	epoxymethyl	Cl
4-methoxybenzylamino	2,3-dihydroxypropyl	diethanolamino
4-methoxybenzylamino	4-phenylbenzyl	diethanolamino
4-methoxybenzylamino	2-phenylbenzyl	diethanolamino
4-methoxybenzylamino	2-naphthylmethyl	diethanolamino
4-methoxybenzylamino	1-naphthylmethyl	diethanolamino
4-methoxybenzylamino	4-methylstilbene	diethanolamino
4-methoxybenzylamino	oleyl	diethanolamino
4-phenylbenzylamino	isopropyl	3-amino-1,2-propanediol
4-phenylbenzylamino	isopropyl	hexanolamino
4-phenylbenzylamino	isopropyl	bis(methoxyethyl) amino
4-phenylbenzylamino	isopropyl	furfurylamino
4-phenylbenzylamino	isopropyl	diethylamino
4-phenylbenzylamino	isopropyl	ethanolamino
4-phenylbenzylamino	isopropyl	morpholino
4-phenylbenzylamino	isopropyl	2,4-dimethoxybenzylamino
4-phenylbenzylamino	isopropyl	4-trifluoromethoxy benzylamino
4-phenylbenzylamino	isopropyl	diisopropanolamino
4-phenylbenzylamino	isopropyl	2-amino-1,3-propanediol
4-phenylbenzylamino	isopropyl	diallyl amino
4-bromobenzylamino	isopropyl	Cl
4-bromoanilino	isopropyl	Cl
4-bromobenzylamino	isopropyl	diethanolamino
4-bromoanilino	isopropyl	diethanolamino
N-methyl-4-phenylbenzylamino	isopropyl	Cl
4-phenylanilino	isopropyl	diisopropanolamino
N-methyl-4-phenylbenzylamino	isopropyl	diethanolamino
benzylamino	ethyl	ethanolamino
4-methylbenzylamino	methyl	ethanolamino
4-ethylbenzylamino	methyl	ethanolamino
4-bromanilino	isopropyl	4-bromoanilino

TABLE 2

Compounds Prepared By The Method Of Example 2

R ₁ '-X	R ₂	R ₂
(8-quinolinyl)amino	isopropyl	C1
(6-quinolinyl)amino	isopropyl	C1
(3-quinolinyl)amino	isopropyl	C1
anilino	isopropyl	C1
3,5-dinitroanilino	isopropyl	C1
4-butylanilino	isopropyl	C1
(8-quinolinyl)amino	isopropyl	Diethanolamino
(6-quinolinyl)amino	isopropyl	Diethanolamino
(3-quinolinyl)amino	isopropyl	Diethanolamino
anilino	isopropyl	Diethanolamino
3,5-dinitroanilino	isopropyl	Diethanolamino
4-butylanilino	isopropyl	Diethanolamino
(6-ethoxy-2-benzothiazolyl)amino	isopropyl	C1
4-morpholino-2-methylamino	isopropyl	C1
(4-aminosulfonyl-phenyl) methylamino	isopropyl	C1
4-bromoanilino	isopropyl	Diethanolamino
3,4-dichloroanilino	isopropyl	Diethanolamino
2-(2-(1-methyl)pyrrolidinyl) ethylamino	isopropyl	Diethanolamino
3-bromoanilino	isopropyl	C1
4-methoxyanilino	isopropyl	Diethanolamino
4-iodoanilino	isopropyl	C1
3-iodoanilino	isopropyl	C1
3-methoxyanilino	isopropyl	C1
2-(1-piperidinyl) ethylamino	isopropyl	Diethanolamino
2-(1-pyrrolidinyl) ethylamino	isopropyl	Diethanolamino
(1-indanyl)amino	isopropyl	Diethanolamino
2-(6-ethoxybenzothiazolyl)amino	isopropyl	Diethanolamino
4-morpholino-2-methylamino	isopropyl	Diethanolamino

R₁'-X	R₂	R₂
(4-aminosulfonyl-phenyl) methylamino	isopropyl	Diethanolamino
4-bromoanilino	isopropyl	Diethanolamino
3,4-dichloroanilino	isopropyl	Diethanolamino
2-(2-(1-methyl)pyrrolidinyl) ethylamino	isopropyl	Diethanolamino
3-bromoanilino	isopropyl	Diethanolamino
4-methoxyanilino	isopropyl	Diethanolamino
4-iodoanilino	isopropyl	Diethanolamino
3-iodoanilino	isopropyl	Diethanolamino
3-methoxyanilino	isopropyl	Diethanolamino
2-(1-piperidinyl) ethylamino	isopropyl	Diethanolamino
2-(1-pyrrolidinyl) ethylamino	isopropyl	Diethanolamino
(1-indanyl)amino	isopropyl	Diethanolamino
3-phenoxyanilino	isopropyl	Diethanolamino
4-phenoxyanilino	isopropyl	Diethanolamino
2-fluorenylamino	isopropyl	Diethanolamino
1-fluorenylamino	isopropyl	Diethanolamino
2-anthracenylamino	isopropyl	Diethanolamino
1-anthracenylamino	isopropyl	Diethanolamino
2-(6-ethoxybenzothiazole)amino	isopropyl	Diethanolamino
2-phenylbenzylamino	isopropyl	Diethanolamino
4-phenylbenzylamino	isopropyl	Diethanolamino
2-naphthylmethylamino	isopropyl	Diethanolamino
1-naphthylmethylamino	isopropyl	Diethanolamino

TABLE 6
Bioactivity of Selected Representatives of this Invention

R ₁ '-X	R2	R3	IC ₅₀ (µg/mL) enzyme	IC ₅₀ (µg/mL) cells
benzylamino	Me	ethanolamino	7	70
4-methoxybenzylamino	H	Cl	60	NA
4-methoxybenzylamino	Me	Cl	6	>70
4-methoxybenzylamino	Me	ethanolamino	4	48
4-chlorobenzoyloxy	H	Cl	60	NA
4-chlorobenzoyloxy	Me	Cl	60	NA
4-chlorobenzoyloxy	Trifluoromethyl	Cl	>60	NA
4-methoxybenzylamino	isopropyl	Cl	4	77
4-methoxybenzylamino	Isopropyl	ethanolamino	4	43
4-methoxybenzylamino	Me	diethanolamino	4	48
4-methoxybenzylamino	2-methylpropyl	Cl	60	>70
ethanolamino	Me	ethanolamino	>60	>70
4-methoxybenzylamino	Trifluoromethyl	Cl	>60	>70
4-methoxybenzylamino	Benzyl	Cl	>60	>70
ethanolamino	H	benzylamino	>60	NA
4-methoxybenzylamino	Isopropyl	diethanolamino	0.2	2.1
4-methoxybenzylamino	Perfluoroisopropyl	Cl	>45	NA
4-methoxybenzylamino	Perfluoroisopropyl	diethanolamino	40	NA

R ₁ '-X	R ₂	R ₃	IC ₅₀ (µg/mL) enzyme	IC ₅₀ (µg/mL) cells
4-methoxybenzylamino	Isopropyl	3-pyrroline	1	12.5
4-methoxybenzylamino	Hydroxyethyl	diethanolamino	0.5	62
4-methoxybenzylamino	Isopropyl	2-hydroxy-1-1- hydroxymethylethylamino	0.4	15
4-methoxybenzylamino	Isopropyl	3-amino-2-hydroxypropyl amino	0.6	25
4-methoxybenzylamino	3-cyanopropyl	Cl	>60	NA
4-methoxybenzylamino	3-chloropropyl	Cl	>60	NA
4-methoxybenzylamino	Benzyl	Cl	>60	NA
4-methoxybenzylamino	Methyl 4-carboxybenzyl	Cl	>60	NA
4-methoxybenzylamino	Naphthaloylethyl	Cl	>60	NA
4-chlorobenzylamino	Trifluoromethyl	Cl	1	NA
4-methoxybenzylamino	Isopropyl	N-(2-cyanopropyl)-N-(3- pyridylmethyl)-amino	1	NA
4-methoxybenzylamino	Isopropyl	2-(hydroxymethyl)-3- methylbutan-2-amino	1	NA
4-methoxybenzylamino	Isopropyl	3-hydroxypiperidino	1	NA
cyclohexylmethylamino	Isopropyl	Cl	1	NA
piperonylamino	Isopropyl	diethanolamino	0.8	NA
4-methoxybenzylamino	Isopropyl	diisopropanolamino	0.8	NA
anilino	Isopropyl	Cl	1	NA

R ₁ '-X	R ₂	R ₃	IC ₅₀ (µg/mL) enzyme	IC ₅₀ (µg/mL) cells
4-methoxybenzylamino	Isopropyl	N-benzyl-N-2-hydroxyethylamino	1	NA
4-phenylanilino	Isopropyl	diethanolamino	0.6	NA
4-phenylbenzylamino	Isopropyl	diethanolamino	0.6	NA
4-phenylbenzylamino	Isopropyl	3-amino-1,2-propanediol	0.6	NA
4-(2-thiophenyl)benzylamino	Isopropyl	diethanolamino	0.5	NA
4-(4-methylphenyl) benzylamino	Isopropyl	diethanolamino	0.6	NA
4-(4-trifluoromethylphenyl) benzylamino	Isopropyl	diethanolamino	0.6	NA
4-thiomethoxyanilino	Isopropyl	Cl	0.6	NA
3-(4-nitrophenyl) anilino	Isopropyl	diethanolamino	0.5	NA
3-thiomethoxyanilino	Isopropyl	diethanolamino	0.1	NA
4-thiomethoxyanilino	Isopropyl	diethanolamino	0.07	NA
3-methoxybenzylamino	Isopropyl	Cl	0.9	NA
4-(2-pyridinyl) benzylamino	Isopropyl	diethanolamino	0.16	NA
3-methoxybenzylamino	Isopropyl	diethanolamino	0.5	NA